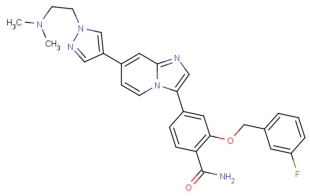
Data Sheet (Cat.No.T11960)

MBM-55

Chemical Properties



CAS No.:	2083622-09-5
Formula:	C28H27FN6O2
Molecular Weight:	498.55
Appearance:	N/A
Storage:	0-4℃ for short term (days to weeks), or -20℃ for long term (months).

Biological Description

Description	MBM-55 effectively inhibits the proliferation of cancer cells by inducing cell cycle arrest and apoptosis. MBM-55 shows antitumor activities, and no obvious toxicity to mice. MBM-55 is a potent NIMA-related kinase 2 (Nek2) inhibitor with an IC50 of 1 nM. MBM-55 shows a 20-fold or greater selectivity in most kinases with the exception of RSK1 (IC50=5.4 nM) and DYRK1a (IC50=6.5 nM).
Targets(IC_{50})	Nek2: 1 nM RSK1: 5.4 nM DYRK1a: 6.5 nM CHK1: 57 nM GSK-3β: 91 nM ABL: 20 nM CDK2: 370 nM CDK4: 441nM AKT1: 608 nM Aurora A: 5300 nM
In vitro	MBM-55 (0.5-1 μM; 24 hours) induces G2/M phase arrest and accumulation of cells with >4N content in HCT-116 cells. MBM-55 (0.5-1 μM; 24 hours) causes cell apoptosis in a concentration-dependent manner in HCT-116 cells.MBM-55 inhibits MGC-803, HCT-116, Bel-7402 cells proliferation with IC50s of 0.53, 0.84, 7.13 μM, respectively.
In vivo	MBM-55 (1.0 mg/kg; i.v.) treatment shows the CL, Vss, T1/2, AUC0-t, and AUC0-∞ values of 33.3 mL/min/kg, 2.53 L/kg, 1.72 hours, 495 ng/h/mL and 507 ng/h/mL, respectively.MBM-55 (20 mg/kg; i.p.; twice a day for 21 days) exhibits good antitumor activity and a well-tolerated dose schedule in nude mice bearing HCT-116 xenografts.

Solubility Information

Solubility	< 1 mg/ml refers to the product slightly soluble or insoluble

Preparing Stock Solutions

	1mg	5mg	10mg
1 mM	2.006 mL	10.029 mL	20.058 mL
5 mM	0.401 mL	2.006 mL	4.012 mL
10 mM	0.201 mL	1.003 mL	2.006 mL
50 mM	0.04 mL	0.201 mL	0.401 mL

Please select the appropriate solvent to prepare the stock solution, according to the solubility of the product in different solvents. The storage conditions and period of the stock solution: - 80 ℃ for 6 months; - 20 ℃ for 1 month. Please use it as soon as possible.

Reference

1. Xi JB, et al. Structure-based design and synthesis of imidazo[1,2-a]pyridine derivatives as novel and potent Nek2 inhibitors with in vitro and in vivo antitumor activities. Eur J Med Chem. 2017 Jan 27;126:1083-1106.

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